



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 138612

TO: David Lukton
Location: REM/3B75/3C70
Art Unit: 1653
November 23, 2004

Case Serial Number: 10069683

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

Access DB# 138612

SEARCH REQUEST FORM
(STIC)

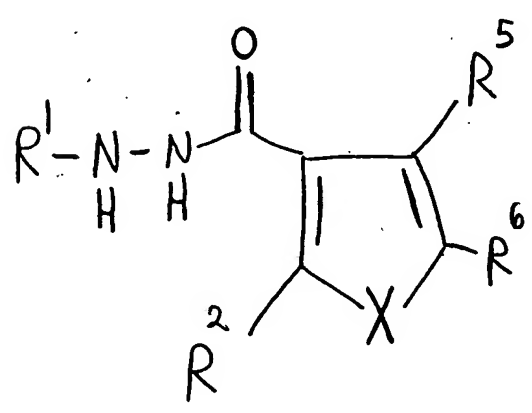
Requestor's Name: David Lukton Examiner number: 71263 Date: 11/23/04
Art Unit: 1653 Phone number: 571-272-0952 Serial Number: 10/069683
Mail Box: 3-C-70 Examiner Rm: 3-B-75 Results format: paper

Title: COMPOUNDS USEFUL TO MIMIC PEPTIDE BETA-STRANDS

Applicants: NOWICK, JAMES S. and MAITRA, SANTANU

Earliest Priority Date: 8/23/99

Applicants are claiming the following compounds:



- R¹ = anything
- R² = OR³, SR³ or halogen
- wherein R³ = hydrogen or alkyl or aryl;
- X = O, S or NR⁴
wherein R⁴ = anything;
- R⁵ = hydrogen, fluorine or -NH-CO-CO-Z
wherein "Z" can be anything
- R⁶ = hydrogen or -NH-CO-CO-Z
wherein "Z" can be anything

RECEIVED
NOV 23 2004
TECH/INSTR. DIVISION
(STIC)

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 16:57:21 ON 23 NOV 2004

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FILE COVERS 1907 - 23 Nov 2004 VOL 141 ISS 22

FILE LAST UPDATED: 22 Nov 2004 (20041122/ED)

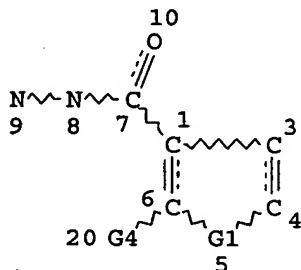
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L51 STR



VAR G1=O/S/N

VAR G4=O/S/X

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L53 16 SEA FILE=REGISTRY SSS FUL L51

L54 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L53

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=> d ibib abs hitstr l54 1-12

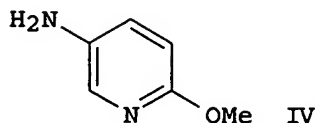
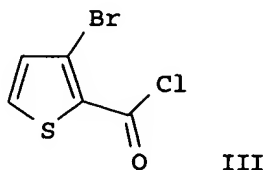
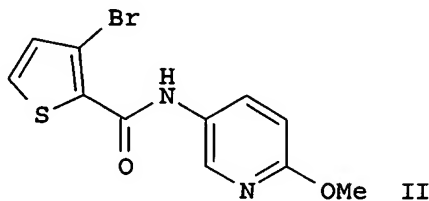
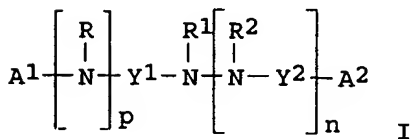
L54 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:269866 HCAPLUS
 DOCUMENT NUMBER: 140:303690
 TITLE: A preparation of amides, carbazides, and hydrazides of heterocyclic compounds useful as quorum sensing inhibitors of bacteria for modulation of pathogenicity
 INVENTOR(S): Ammendola, Aldo; Aulinger-Fuchs, Katharina; Gotschlich, Astrid; Kramer, Bernd; Lang, Martin; Saeb, Wael; Sinks, Udo; Wuzik, Andreas
 PATENT ASSIGNEE(S): 4 SC AG, Germany
 SOURCE: U.S. Pat. Appl. Publ., 58 pp., Cont.-in-part of U.S. Pat. Appl. 2003 105,143.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004063765	A1	20040401	US 2003-429875	20030506
US 2003105143	A1	20030605	US 2002-94301	20020308
EP 1475092	A1	20041110	EP 2003-10185	20030506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
WO 2004099175	A2	20041118	WO 2004-EP4850	20040506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
 US 2002-94301 A2 20020308
 WO 2001-EP12875 A1 20011107
 EP 2003-10185 A 20030506

OTHER SOURCE(S): MARPAT 140:303690
 GI



AB The invention relates to compds. of general formula I [wherein: R, R1, and R2 are independently H, (cyclo)alkyl, and (hetero)aryl; A1 and A2 are independently an optionally substituted C1-C20 alkyl group which may contain one or more group(s) Z, or a (mono/poly)cyclic optionally substituted aromatic or non-aromatic ring system which may contain one or more group(s) X, and in the case of polycyclic ring system, said system contains at least one aromatic ring; Z = S, O, N, CO, CO2 ; etc.; X = S, O, N, SO, SO2, etc.; Y1 and Y2 are independently C(O), C(S), SO2, etc.; p and n are all possible combinations of 0 and 1], useful as selective inhibitors of bacterial pathogens. The invention refers to a family of compds. that block the quorum sensing system of Gram-neg. bacteria which they use to monitor their population cell d. Compds. I were screened for quorum sensing inhibition on bioluminescent sensor strain Escherichia coli, inhibition of protease production, and inhibition of biofilm formation. For instance, amide II (compound 2 in table 2; biosensor assay IC50 = 1-50 μ M) was prepared via amidation of acid chloride III by amine IV (no yield data).

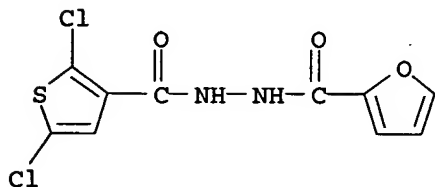
IT 525597-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides, carbazides, and hydrazides of heterocyclic compound useful for the regulation of quorum sensing system of Gram-neg. bacteria)

RN 525597-52-8 HCAPLUS

CN 2-Furancarboxylic acid, 2-[(2,5-dichloro-3-thienyl)carbonyl]hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:376642 HCAPLUS

DOCUMENT NUMBER: 138:385440

TITLE: Preparation of heterocyclic amides and hydrazides as selective antibacterial agents

INVENTOR(S): Kramer, Bernd; Ammendola, Aldo; Saeb, Wael

PATENT ASSIGNEE(S): 4SC Ag, Germany

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039549	A2	20030515	WO 2002-EP11760	20021021
WO 2003039549	C1	20040226		
WO 2003039549	A3	20040527		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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WO 2003039529 A1 20030515 WO 2001-EP12875 20011107
WO 2003039529 C1 20031002

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

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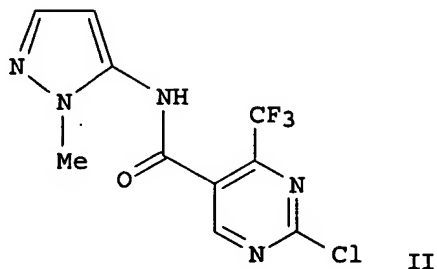
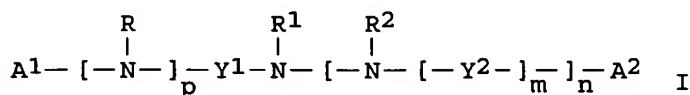
US 2003105143 A1 20030605 US 2002-94301 20020308
WO 2004099175 A2 20041118 WO 2004-EP4850 20040506

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-EP12875 A 20011107
US 2002-94301 A 20020308
EP 2003-10185 A 20030506

OTHER SOURCE(S): MARPAT 138:385440
GI



AB Title compds. I [R, R1-2 = H, (cyclo)alkyl, (hetero)aryl; A1-2 = alkyl, mono/polycyclic ring system optionally aromatic, etc.; Z = S, O, N, CO, etc.; X = SO₂, O, N, etc.; Y1-2 = CO, CS, SO₂, etc.; p, m, n = 0-1 with some provisions] are prepared and used for the regulation of the quorum sensing system of microorganisms. General synthetic procedures are described for the preparation of over 100 compds., e.g., 2-chloro-4-trifluoromethylpyrimidine-5-carboxylic acid N-(2-methyl-2H-pyrazol-3-yl)amide (II). Antibacterial efficacy is demonstrated with *E. coli*, *P. aeruginosa* and on biofilm formation *Burkholderia cepacia*.

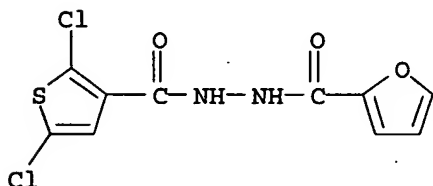
IT 525597-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amides and hydrazides as selective antibacterial agents)

RN 525597-52-8 HCAPLUS

CN 2-Furancarboxylic acid, 2-[(2,5-dichloro-3-thienyl)carbonyl]hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:610837 HCAPLUS

DOCUMENT NUMBER: 137:294938

TITLE: 6-Chloro-3-alkylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-Dioxide Derivatives Potently and Selectively Activate ATP Sensitive Potassium Channels of Pancreatic β -Cells

AUTHOR(S): Nielsen, Flemming E.; Bodvarsdottir, Thora B.; Worsaae, Anne; MacKay, Peter; Stidsen, Carsten E.; Boonen, Harrie C. M.; Pridal, Lone; Arkhammar, Per O. G.; Wahl, Philip; Ynddal, Lars; Junager, Finn; Dragsted, Nils; Tagmose, Tina M.; Mogensen, John P.; Koch, Anette; Treppendahl, Svend P.; Hansen, J. Bondo
CORPORATE SOURCE: Novo Nordisk Research and Development, Malov, DK 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2002), 45(19), 4171-4187

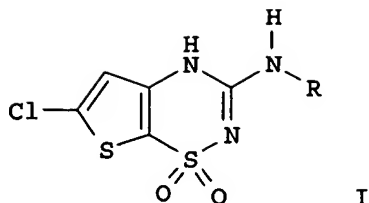
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB 6-Chloro-3-alkylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxides I [R = H, Me, Bu, Me₂CH, Me₃C, (S)-EtCHMe, cyclopropyl, etc.] were synthesized and characterized as activators of ATP sensitive potassium (KATP) channels in the β -cells by measuring effects on membrane potential and insulin release in vitro. The effects on vascular tissue in vitro were measured on rat aorta and small mesenteric vessels. Selected I were characterized as competitive inhibitors of [³H]glibenclamide binding to membranes of HEK293 cells expressing human SUR1/Kir6.2 and as potent inhibitors of insulin release in isolated rat islets. I (R = 1-methylcyclobutyl) bound and activated the SUR1/Kir6.2 KATP channels in the low nanomolar range and was at least 1000 times more potent than the reference compound diazoxide with respect to inhibition of insulin release from rat islets. Several compds., e.g. I [R = Pr, Me₂CH, (S)-EtCHMe, 1-methylcyclopropyl], which were potent and β -cell selective activators of KATP channels in vitro, were found to inhibit insulin secretion in rats with minimal effects on blood pressure and to exhibit good oral pharmacokinetic properties.

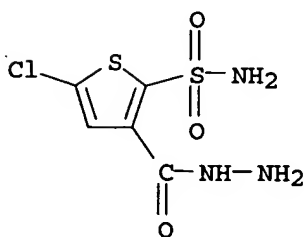
IT 194086-58-3P 194086-59-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (alkylamino)thienothiadiazine dioxides as selective activators of ATP sensitive potassium channels of pancreatic β -cells)

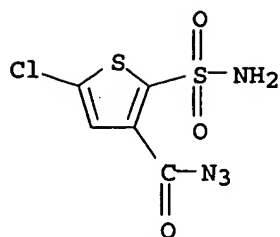
RN 194086-58-3 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2-(aminosulfonyl)-5-chloro-, hydrazide (9CI)
(CA INDEX NAME)



RN 194086-59-4 HCAPLUS

CN 3-Thiophenecarbonyl azide, 2-(aminosulfonyl)-5-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:253020 HCAPLUS

DOCUMENT NUMBER: 136:279347

TITLE: Preparation of hydrophilic sulfonamide derivatives as inhibitors of protein jun kinases

INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Rueckle, Thomas; Gotteland, Jean Pierre; Biamonte, Marco; Arkinstall, Stephen

PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

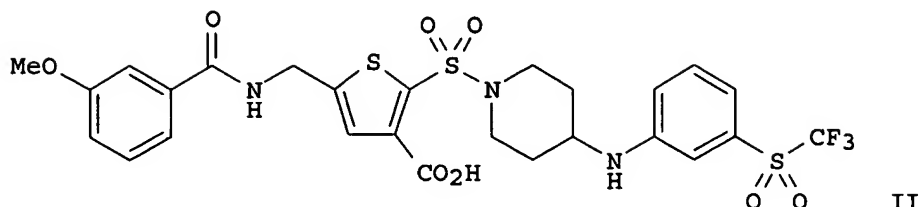
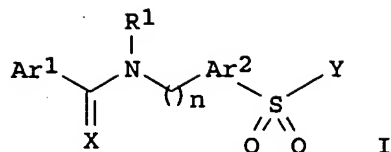
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1193267	A1	20020403	EP 2000-810886	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2421417	AA	20020411	CA 2001-2421417	20010927
WO 2002028856	A1	20020411	WO 2001-IB1771	20010927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001087990	A5	20020415	AU 2001-87990	20010927
EP 1322641	A1	20030702	EP 2001-967621	20010927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510772	T2	20040408	JP 2002-532439	20010927
US 2004077632	A1	20040422	US 2003-381200	20030910
PRIORITY APPLN. INFO.:			EP 2000-810886	A 20000927
			WO 2001-IB1771	W 20010927
OTHER SOURCE(S):			MARPAT 136:279347	
GI				



AB Title compds. I [Ar1= (un)substituted (hetero)aryl; Ar2 = (hetero)aryl group substituted with at least one hydrophilic substituent; X = O, S, preferably O; R1 = H, alkyl, or forms a 5-6-membered ring with Ar1; n = 0-5; Y = (un)substituted 4-12-membered saturated cyclic or bicyclic alkyl containing at least one nitrogen atom, whereby one nitrogen atom within said ring is forming a bond with the sulfonyl group] were prepared. For instance, 5-((Diallylamino)methyl)thiophene-2-sulfonyl chloride (preparation given) was treated with 1,4-dioxo-8-azaspiro[4.5]decane to give the corresponding sulfonamide and subsequently converted to the 3-carboethoxy-thiophene derivative (THF, -78°C → -100°C, t-BuLi, EtO2CCl).

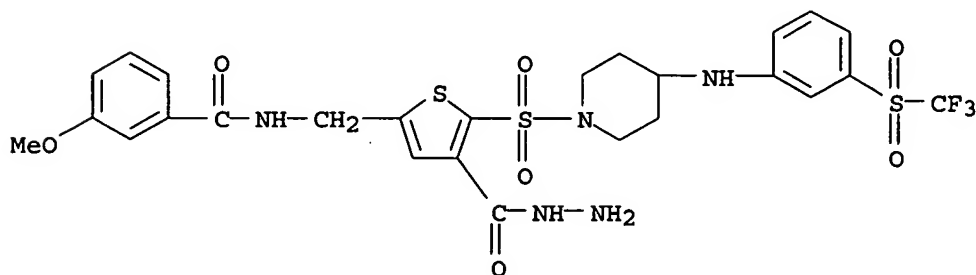
Deallylation, acylation with 3-methoxybenzoyl chloride, ketal hydrolysis, reductive amination with 3-(trifluoromethylsulfonyl)aniline and saponification provided II in 8 steps in overall yield of 2.5%. I are efficient modulators of the JNK pathway, they are in particular efficient and selective inhibitors of JNK 2 and 3. II had IC50 = 0.01 μM for protein jun kinase 3 (JNK3). I are useful for the treatment of, e.g., neuronal disorders including epilepsy, Alzheimer's disease, Huntington's disease, Parkinson's disease, retinal diseases, spinal cord injury, etc.

IT 406487-04-5P, N-[[[4-[Hydrazinocarbonyl]-5-[[[4-[3-[[trifluoromethyl]sulfonyl]anilino]piperidin-1-yl]sulfonyl]thien-2-yl]methyl]-3-methoxybenzamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; pharmaceutically active hydrophilic sulfonamide derivs. as inhibitors of protein jun kinases)

RN 406487-04-5 HCAPLUS

CN 3-Thiophenecarboxylic acid, 5-[[[(3-methoxybenzoyl)amino]methyl]-2-[[[4-[[3-[[trifluoromethyl]sulfonyl]phenyl]amino]-1-piperidinyl]sulfonyl]-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:34239 HCAPLUS
 DOCUMENT NUMBER: 132:89498
 TITLE: Preparation of insecticidal N'-substituted-N,N'-disubstituted hydrazines.
 INVENTOR(S): Hsu, Adam Chi-Tung; Aller, Harols Ernest; Le Dat Phat; Hamp, Donald Wesley; Weinstein, Barry; Murphy, Raymond August
 PATENT ASSIGNEE(S): Rohm and Haas Company, USA
 SOURCE: U.S., 76 pp., Cont.-in-part of U.S. Ser. No. 300,871.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6013836	A	20000111	US 1995-443871	19950522
US 4985461	A	19910115	US 1985-789797	19851021
AT 60979	E	19910315	AT 1986-308068	19861017
ZA 8607921	A	19870624	ZA 1986-7921	19861020
BR 8605121	A	19870721	BR 1986-5121	19861020
US 5354762	A	19941011	US 1987-12380	19870219
ZA 8701432	A	19871028	ZA 1987-1432	19870227
US 5117057	A	19920526	US 1991-715843	19910614
US 5225443	A	19930706	US 1991-501142	19910624
US 5344958	A	19940906	US 1992-984189	19921123
US 5530028	A	19960625	US 1993-129549	19930929
PRIORITY APPLN. INFO.:			US 1985-789797	A2 19851021
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			US 1987-91687	B1 19870831
			US 1989-384079	B1 19890717
			US 1991-688357	B1 19910312
			US 1991-715843	A3 19910614
			US 1992-843487	A 19920228
			US 1992-984189	A2 19921123
			US 1993-129549	A2 19930929
			US 1994-300871	A2 19940610
			US 1994-261588	A2 19940617
			EP 1986-308068	A 19861017
			US 1988-207081	B2 19880615
			US 1988-274635	B2 19881114

OTHER SOURCE(S): MARPAT 132:89498

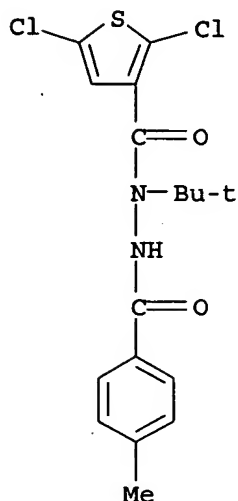
AB Substituted hydrazines AC(X)NHNEC(X1)B [X, X1 = O, S, or NR; A, B = (un)substituted aryl or aromatic heterocyclyl; R = alkyl, alkenyl, or aralkyl; E = tertiary carbon-containing organic radical having ≥ 4 carbon and halogen atoms, but ≤ 6 halogen atoms, or a nontertiary carbon-containing nonhaloalkyl organic or organometallic radical, having at ≥ 5 atoms other than H, O and halo; R = H or alkyl] are prepared as insecticides, particularly insect growth regulators.

IT 115216-00-7P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as insecticide)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L54 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:499189 HCAPLUS

DOCUMENT NUMBER: 127:176443

TITLE: Preparation of thieno-1,2,4-thiadiazines and
pyrazolo[1,2,4]thiadiazines as openers of the
KATP-regulated potassium channels

INVENTOR(S): Nielsen, Flemming Elmelund; Hansen, Holger Claus;
Hansen, John Bondo; Tagmose, Tina Moller

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

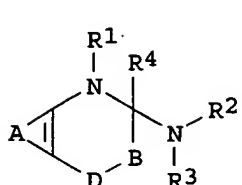
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

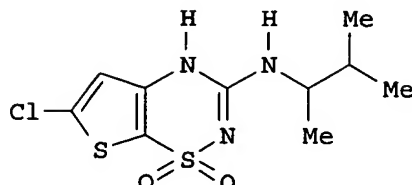
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726265	A1	19970724	WO 1997-DK19	19970116
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241567	AA	19970724	CA 1997-2241567	19970116
AU 9714371	A1	19970811	AU 1997-14371	19970116
AU 727775	B2	20001221		

ZA 9700352	A	19971110	ZA 1997-352	19970116
JP 10508881	T2	19980902	JP 1997-523981	19970116
JP 3071832	B2	20000731		
EP 876379	A1	19981111	EP 1997-900934	19970116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1208417	A	19990217	CN 1997-191749	19970116
BR 9707003	A	19990720	BR 1997-7003	19970116
RU 2199542	C2	20030227	RU 1998-115285	19970116
US 5889002	A	19990330	US 1997-785438	19970117
NO 9803286	A	19980916	NO 1998-3286	19980716
US 6225310	B1	20010501	US 2000-539242	20000330
PRIORITY APPLN. INFO.:			DK 1996-41	A 19960117
			DK 1996-250	A 19960305
			DK 1996-251	A 19960305
			DK 1996-252	A 19960305
			DK 1996-253	A 19960305
			DK 1996-256	A 19960305
			DK 1996-259	A 19960305
			DK 1996-903	A 19960827
			WO 1997-DK19	W 19970116
			US 1997-785438	A2 19970117
			DK 1997-872	A 19970716
			DK 1998-368	A 19980317
			US 1998-107693	B1 19980630

OTHER SOURCE(S): MARPAT 127:176443
GI



I



II

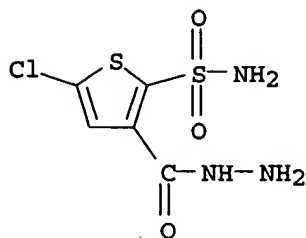
AB The title compds. [I; B = NR₅, CR₅R₆ (wherein R₅, R₆ = H, OH, C1-6 alkoxy, etc.; R₅R₄ = a bond); D = S(O)₂, S(O); DB = S(O)(R₇):N (wherein R₇ = C1-6 alkyl, aryl, heteroaryl); R₁ = H, OH, C1-6 alkoxy, etc.; R₂ = H, OH, C1-6 alkyl, etc.; R₃ = bicycloalkyl, aryl, heteroaryl, etc.; A together with carbon atoms represents 5-6 membered heterocyclic system comprising one or more N, O or S atoms], useful in the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the gastrointestinal system and the endocrinol. system (such as hyperinsulinemia and diabetes), were prepared and formulated. Thus, treatment of 2-(N-tert-butylsulfamoyl)-5-chlorothiophene-3-carboxylic acid with HCl/EtOH followed by reaction of the resulting Et 5-chloro-2-sulfamoylthiophene-3-carboxylate with N₂H₄.H₂O, treatment of 5-chloro-2-sulfamoylthiophene-3-carbohydrazide with NaNO₂ in 1M HCl, refluxing 5-chloro-2-sulfamoyl-3-thenoyl azide in PhMe, and reaction of 6-chloro-2,3-dihydro-3-oxo-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide with 1,2-dimethylpropylamine.HCl in the presence of P₂O₅ and N,N-dimethylcyclohexylamine afforded the title compound II which showed EC₅₀ of 1.2 μM in test on relaxation of rat aorta rings.

IT 194086-58-3P 194086-59-4P

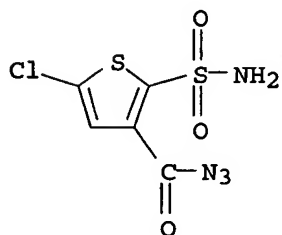
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thieno-1,2,4-thiadiazines and pyrazolo[1,2,4]thiadiazines as openers of the KATP-regulated potassium channels)

RN 194086-58-3 HCAPLUS
 CN 3-Thiophenecarboxylic acid, 2-(aminosulfonyl)-5-chloro-, hydrazide (9CI)
 (CA INDEX NAME)



RN 194086-59-4 HCAPLUS
 CN 3-Thiophenecarbonyl azide, 2-(aminosulfonyl)-5-chloro- (9CI) (CA INDEX NAME)



L54 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:705644 HCAPLUS
 DOCUMENT NUMBER: 123:313108
 TITLE: Anthelmintic N-alkyl-N,N'-diacylhydrazines:
 nonsteroidal ecdysone agonists
 INVENTOR(S): Wing, Keith D.
 PATENT ASSIGNEE(S): Rohm and Haas Company, USA
 SOURCE: U.S., 87 pp. Cont. of U.S. Ser.No. 208,339, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5424333	A	19950613	US 1991-725925	19910705
US 4985461	A	19910115	US 1985-789797	19851021
AT 60979	E	19910315	AT 1986-308068	19861017
ZA 8607921	A	19870624	ZA 1986-7921	19861020
BR 8605121	A	19870721	BR 1986-5121	19861020
US 5354762	A	19941011	US 1987-12380	19870219
ZA 8701432	A	19871028	ZA 1987-1432	19870227
US 5225443	A	19930706	US 1991-501142	19910624
PRIORITY APPLN. INFO.:			US 1985-789797	A2 19851021
			US 1986-821187	B2 19860122
			US 1986-835073	B2 19860228
			US 1986-858482	B2 19860501
			US 1986-885508	B2 19860714

US 1986-911177	B2 19860924
US 1986-911928	B2 19860926
US 1987-12380	A2 19870219
US 1987-24660	B2 19870311
US 1987-91687	B1 19870831
US 1988-207081	B2 19880615
US 1988-208339	B1 19880616
US 1989-384079	B2 19890717
EP 1986-308068	A 19861017
US 1988-274635	B1 19881115

OTHER SOURCE(S): MARPAT 123:313108

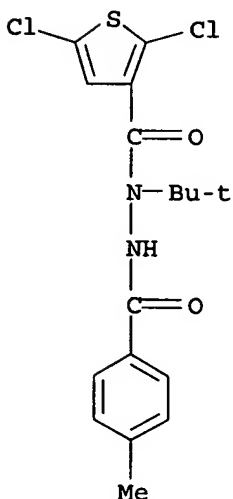
AB This invention relates to methods of controlling helminths by contacting the helminths with a compound having a nucleus of the formula $A'C(:X)NR_2NR_1C(:X')B'$ wherein X and X' are the same or different O, S, or NR and A', B', R1 and R2 are a variety of substituents. Thus, e.g., reaction of t-butylhydrazine hydrochloride with benzoyl chloride afforded N'-t-butyl-N,N'-dibenzoylhydrazine (I) which induced the onset of molting in *M. sexta* L5D0 whole larvae: ED50 = 3.0 ppm (in diet) and 3.4 µg/g body weight (injected) vs. >2000 ppm and 181.0 µg/g, resp., for 20-hydroxyecdysone. Although I displayed 30-fold lower binding affinity to the ecdysone receptor than 20-hydroxyecdysone, I is more potent at eliciting whole animal effects. There is an excellent correlation between the southern armyworm activity of 373 analogs of I and ecdysone receptor binding ($R = 0.79$). In hornworm larvae, I, an ecdysone agonist, exerts neg. feedback inhibition on hormone biosynthesis.

IT 115216-00-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(anthelmintic N-alkyl-N,N'-diacylhydrazines: nonsteroidal ecdysone agonists)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:542484 HCAPLUS

DOCUMENT NUMBER: 123:83263

TITLE: Synthesis of 3-(3-thienyl)-2-pyrazolines by

1,3-dipolar cycloaddition reactions

AUTHOR(S): Krayushkin, M. M.; Kalik, M. A.; Woznesensky, S. A.

CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117913, Russia

SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1994), (1), 114-17

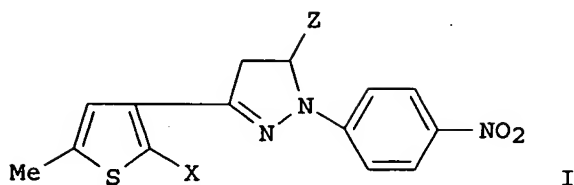
CODEN: IASKEA

PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



AB Thienylpyrazolines I (X = SO₂Me, SMe, CH₂Cl; Z = Ph, OBu, CN) were obtained in high yields by treatment of N-(p-nitrophenyl)-3-thiophenecarbohydrazonoyl chlorides with Et₃N and excess CH₂:CHZ in CH₂Cl₂. The reaction probably proceeds as a 1,3-dipolar cycloaddn. of the 3-thiophenecarbonitrile imine, formed in situ, to the olefinic double bond.

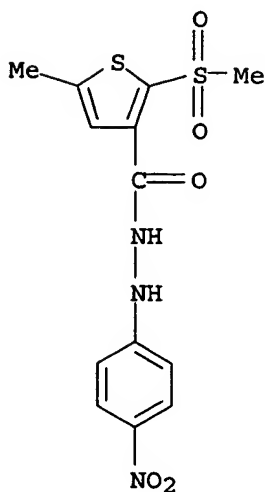
IT 165066-09-1P 165066-10-4P 165066-11-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thienylpyrazolines by dipolar cycloaddn. reactions)

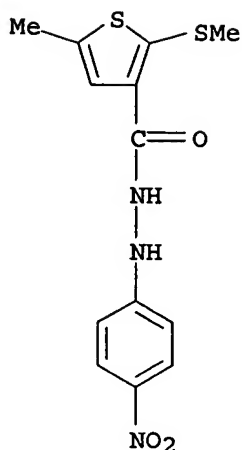
RN 165066-09-1 HCAPLUS

CN 3-Thiophenecarboxylic acid, 5-methyl-2-(methylsulfonyl)-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)

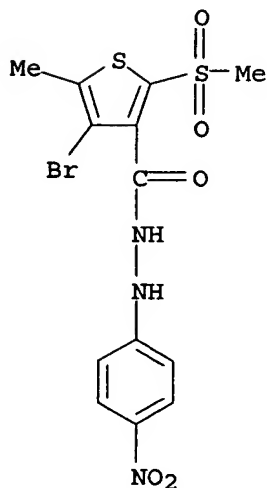


RN 165066-10-4 HCAPLUS

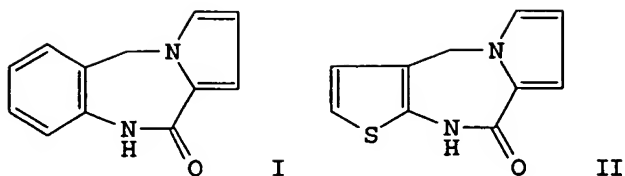
CN 3-Thiophenecarboxylic acid, 5-methyl-2-(methylthio)-, 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



RN 165066-11-5 HCAPLUS
 CN 3-Thiophenecarboxylic acid, 4-bromo-5-methyl-2-(methylsulfonyl)-,
 2-(4-nitrophenyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1992:128881 HCAPLUS
 DOCUMENT NUMBER: 116:128881
 TITLE: A convenient route to diazepines by intramolecular
 cyclization of carbonyl azides
 AUTHOR(S): Daich, Abdelali; Povazanec, Frantisek; Decroix,
 Bernard
 CORPORATE SOURCE: Lab. Chim., Univ. Havre, Le Havre, 76600, Fr.
 SOURCE: Journal of Heterocyclic Chemistry (1991), 28(8),
 1911-15
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:128881
 GI



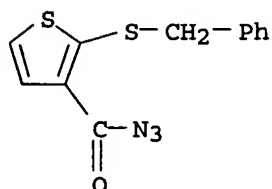
AB The title reaction was accomplished by refluxing in HOAc. Acetamides and lactams, e.g., I and II, were the products.

IT 114950-31-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of)

RN 114950-31-1 HCAPLUS

CN 3-Thiophenecarbonyl azide, 2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L54 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:551998 HCAPLUS

DOCUMENT NUMBER: 113:151998

TITLE: Preparation of benzoylhydrazine derivatives and analogs as anthelmintics

INVENTOR(S): Wing, Keith Dumont

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: Eur. Pat. Appl., 109 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 361645	A2	19900404	EP 1989-306053	19890615
EP 361645	A3	19910220		
EP 361645	B1	19930929		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8936360	A1	19891221	AU 1989-36360	19890614
AU 637573	B2	19930603		
ZA 8904508	A	19900328	ZA 1989-4508	19890614
DK 8902963	A	19891217	DK 1989-2963	19890615
JP 02152922	A2	19900612	JP 1989-150693	19890615
AT 95168	E	19931015	AT 1989-306053	19890615
ES 2059755	T3	19941116	ES 1989-306053	19890615
CN 1039016	A	19900124	CN 1989-104259	19890616
BR 8902929	A	19900320	BR 1989-2929	19890616
PRIORITY APPLN. INFO.:			US 1988-208339	A 19880616
			EP 1989-306053	A 19890615

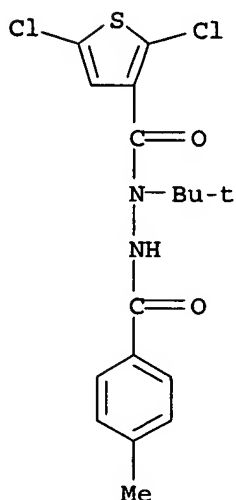
OTHER SOURCE(S): MARPAT 113:151998

AB A1XG1G2(D)NN(E)G2G1XB1 (A1, B1, D = any atom or group; E = organic radical having ≥ 3 atoms \neq H and is attached to N by a C-N single bond, an organometallic radical; one G1 = C, N, O, S and both of G2 and the other G1 = C; one G2 = S or P, and both of G1 and the other G2 = C; when G2 bonded to D = C or P, 1 of G2-D and G2-N is doubly bonded and the other is singly bonded; when G2 bonded to D is S, G2-D is doubly bonded and G2-N is singly bonded; X = single, double, aromatic bond; when B1 = PhCH, E \neq PhCH:CHCO) or a salt thereof, for pharmaceutical and veterinary use, are prepared Me₃CNHNH₂.HCl in PhMe at room temperature was added to 50% NaOH, the reaction mixture cooled to 5° and BzCl was added to give BzNHN(CMe₃)Bz (II). In bioassays on intact (unligated) hornworms, II injection (μ g/g) was 67-fold as effective than 20-hydroxyecdysone and orally (ppm in diet) 670-fold as potent.

IT 115216-00-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anthelmintic)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:473319 HCAPLUS

DOCUMENT NUMBER: 109:73319

TITLE: Preparation and testing of heterocyclyldiacylhydrazines as insecticides

INVENTOR(S): Hsu, Adam Chi Tung; Phat Le Dat

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 261755	A2	19880330	EP 1987-303091	19870409
EP 261755	A3	19890628		
EP 261755	B1	19921216		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

AT 83481	E	19930115	AT 1987-303091	19870409
ES 2053535	T3	19940801	ES 1987-303091	19870409
AU 8771472	A1	19880331	AU 1987-71472	19870413
AU 599970	B2	19900802		
CA 1331189	A1	19940802	CA 1987-534506	19870413
DK 8701994	A	19880327	DK 1987-1994	19870415
BR 8701822	A	19880426	BR 1987-1822	19870415
ZA 8702738	A	19880629	ZA 1987-2738	19870416
HU 46507	A2	19881128	HU 1987-1714	19870417
HU 202830	B	19910429		
IL 82244	A1	19920715	IL 1987-82244	19870417
JP 63083063	A2	19880413	JP 1987-97234	19870420
JP 08005854	B4	19960124		

PRIORITY APPLN. INFO.:

US 1986-911928

A 19860926

EP 1987-303091

A 19870409

OTHER SOURCE(S):

MARPAT 109:73319

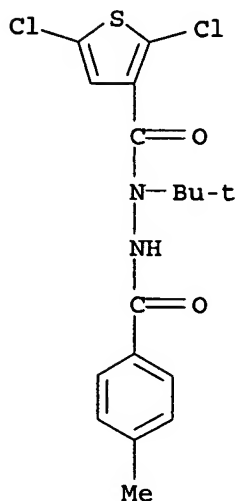
AB AC(:X)NHNr1 C(:X1)B [I; X, X1 = O, S, NR; R = H, alkyl; R1 = (cycloalkyl-substituted) alkyl; A, B = (substituted) Ph, furyl, thienyl, triazolyl, pyrrolyl, pyrazolyl, thiazolyl, oxazolyl] were prepared as insecticides. N'-tert-Butyl-N-benzoylhydrazine in PhMe was mixed with H₂O, NaOH, and 2-thiophenecarbonyl chloride and the mixture was stirred 13 h at room temperature to give N'-tert-butyl-N-benzoyl-N'-(2-thiophenecarbonyl)hydrazine. The latter at 600 ppm as a spray gave 100% control of Spodoptera eridania on bean leaves.

IT 115216-00-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)

RN 115216-00-7 HCAPLUS

CN 3-Thiophenecarboxylic acid, 2,5-dichloro-, 1-(1,1-dimethylethyl)-2-(4-methylbenzoyl)hydrazide (9CI) (CA INDEX NAME)



L54 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:422885 HCAPLUS

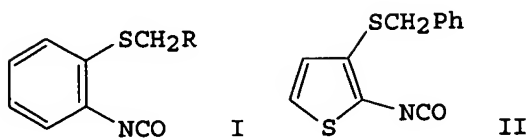
DOCUMENT NUMBER: 109:22885

TITLE: Synthesis from isocyanates of N-alkylated
2-benzothiazolinones and thienothiazolin-2-one

AUTHOR(S): Jilale, Abderrahim; Decroix, Bernard; Morel, Jean

CORPORATE SOURCE: Lab. Chim., Org. Heterocycles, Rouen, F-76130, Fr.

SOURCE: Chemica Scripta (1987), 27(3), 423-8
 CODEN: CSRPB9; ISSN: 0004-2056
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 OTHER SOURCE(S): CASREACT 109:22885
 GI



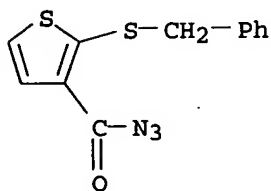
AB Thermal rearrangement and Friedel-Crafts reaction of ortho-substituted phenyl isocyanates, e.g., I (R = 2-, 3-thienyl) gave benzothiazolin-2-one. Similarly ortho-substituted thienyl isocyanates, e.g., II, gave the 3 possible thienothiazolinones.

IT 114950-31-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and conversion to isocyanate)

RN 114950-31-1 HCAPLUS

CN 3-Thiophenecarbonyl azide, 2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



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